

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
5 February 2004 (05.02.2004)

PCT

(10) International Publication Number
WO 2004/011431 A1

(51) International Patent Classification⁷: **C07D 211/54**,
211/56, 211/58, 401/06, A61K 31/445, 31/45, A61P 31/04

Gabriele [AT/AT]; Endemanngasse 13/1/1, A-1230 Wien (AT).

(21) International Application Number:
PCT/EP2003/008059

(74) Agent: **GRUBB, Philip**; Novartis AG, Corporate Intellectual Property, CH-4002 Basel (CH).

(22) International Filing Date: 23 July 2003 (23.07.2003)

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
0217149.4 24 July 2002 (24.07.2002) GB
0217305.2 25 July 2002 (25.07.2002) GB
PCT/EP03/03215 27 March 2003 (27.03.2003) EP

(84) Designated States (*regional*): Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(71) Applicant (*for all designated States except US*): **SANDOZ GMBH** [AT/AT]; Biochemiestrasse 10, A-6250 Kundl (AT).

Published:

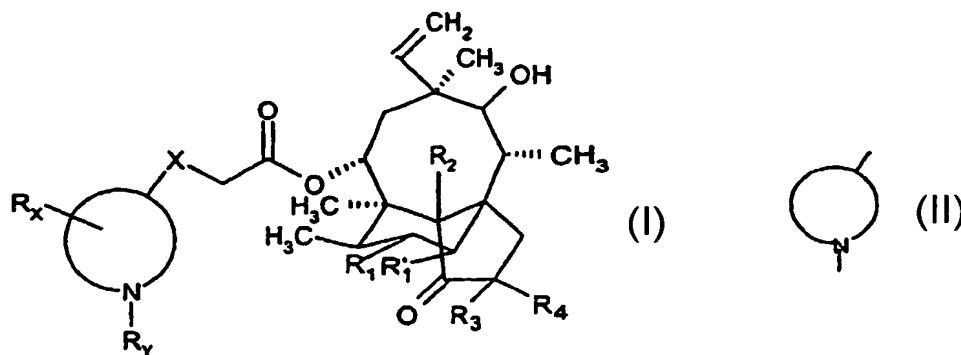
— with international search report

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **BERNER, Heinz** [AT/AT]; Geyergasse 2a, A-1180 Wien (AT). **KERBER,**

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: PLEUROMUTILIN DERIVATIVES AS ANTIMICROBIALS



(57) Abstract: Compounds of formula (I), wherein R₁ and R₁' are hydrogen or deuterium, R₂, R₃ and R₄ are hydrogen or deuterium, R₅ is the residue of an amino acid, X is S or N-ALK, formula (II), is piperidiny or tetrahydropyridiny, ALK is (C₁₋₄)alkyl, and R₆ is hydrogen, hydroxy or (C₂₋₁₂)acyloxy, and their use as antimicrobials.